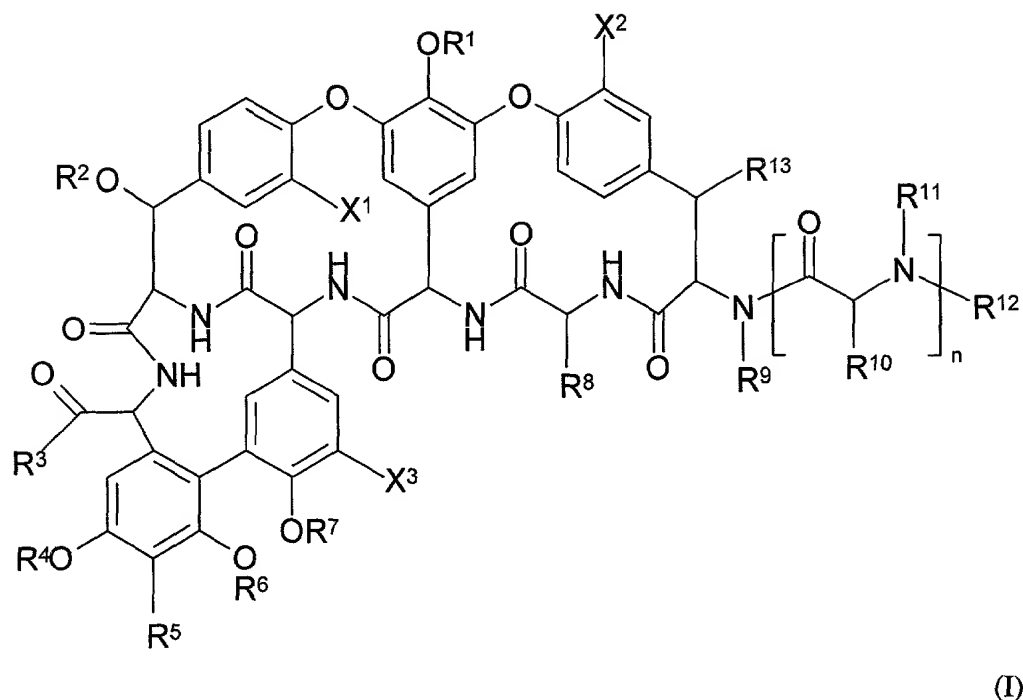


**WHAT IS CLAIMED IS:**

1. A glycopeptide of formula I:



wherein:

- 5  $R^1$  is an amino containing saccharide group substituted on the amine with a substituent that comprises two or more (e.g. 2, 3, 4, 5, or 6) hydroxy (OH) groups;

$R^2$  is hydrogen or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

$R^3$  is  $-OR^c$ ,  $-NR^cR^c$ ,  $-O-R^a-Y-R^b-(Z)_x$ ,  $-NR^c-R^a-Y-R^b-(Z)_x$ ,  $-NR^cR^e$ , or  $-O-R^e$ ;

- 10  $R^4$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and

a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

$R^5$  is selected from the group consisting of hydrogen, halo,  $-\text{CH}(R^c)-\text{NR}^cR^c$ ,  $-\text{CH}(R^c)-\text{NR}^cR^c$ ,  $-\text{CH}(R^c)-\text{NR}^c-R^a-Y-R^b-(Z)_x$ ,  $-\text{CH}(R^c)-R^x$ , and

5  $-\text{CH}(R^c)-\text{NR}^c-R^a-C(=O)-R^x$ ;

$R^6$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and a saccharide group optionally substituted with  $-\text{NR}^c-R^a-Y-R^b-(Z)_x$ , or  $R^5$  and  $R^6$  can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with  $-\text{NR}^c-R^a-Y-R^b-(Z)_x$ ;

$R^7$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ , and  $-C(O)R^d$ ;

$R^8$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^9$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^{10}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or  $R^8$  and  $R^{10}$  are joined to form  $-\text{Ar}^1-\text{O}-\text{Ar}^2-$ , where  $\text{Ar}^1$  and  $\text{Ar}^2$  are independently arylene or heteroarylene;

$R^{11}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or

R<sup>10</sup> and R<sup>11</sup> are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R<sup>12</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,  
5 -C(O)R<sup>d</sup>, -C(NH)R<sup>d</sup>, -C(O)NR<sup>c</sup>R<sup>c</sup>, -C(O)OR<sup>d</sup>, -C(NH)NR<sup>c</sup>R<sup>c</sup> and -R<sup>a</sup>-Y-R<sup>b</sup>-(Z)<sub>x</sub>,  
or R<sup>11</sup> and R<sup>12</sup> are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R<sup>13</sup> is selected from the group consisting of hydrogen or -OR<sup>14</sup>;

10 R<sup>14</sup> is selected from hydrogen, -C(O)R<sup>d</sup> and a saccharide group;

each R<sup>a</sup> is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R<sup>b</sup> is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R<sup>b</sup> is not a covalent bond when Z is hydrogen;  
15

each R<sup>c</sup> is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R<sup>d</sup>;  
20

each R<sup>d</sup> is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R<sup>e</sup> is a saccharide group;

25 each R<sup>f</sup> is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

$R^x$  is a nitrogen-linked amino saccharide or a nitrogen-linked heterocycle;

$X^1$ ,  $X^2$  and  $X^3$  are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

- 5     $-S-S-$ ,  $-NR^c-$ ,  $-S(O)-$ ,  $-SO_2-$ ,  $-NR^cC(O)-$ ,  $-OSO_2-$ ,  $-OC(O)-$ ,  $-NR^cSO_2-$ ,  
     $-C(O)NR^c-$ ,  $-C(O)O-$ ,  $-SO_2NR^c-$ ,  $-SO_2O-$ ,  $-P(O)(OR^c)O-$ ,  $-P(O)(OR^c)NR^c-$ ,  
     $-OP(O)(OR^c)O-$ ,  $-OP(O)(OR^c)NR^c-$ ,  $-OC(O)O-$ ,  $-NR^cC(O)O-$ ,  $-NR^cC(O)NR^c-$ ,  
     $-OC(O)NR^c-$ ,  $-C(=O)-$ , and  $-NR^cSO_2NR^c-$ ;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,  
heteroaryl and heterocyclic;

- 10     $n$  is 0, 1 or 2; and

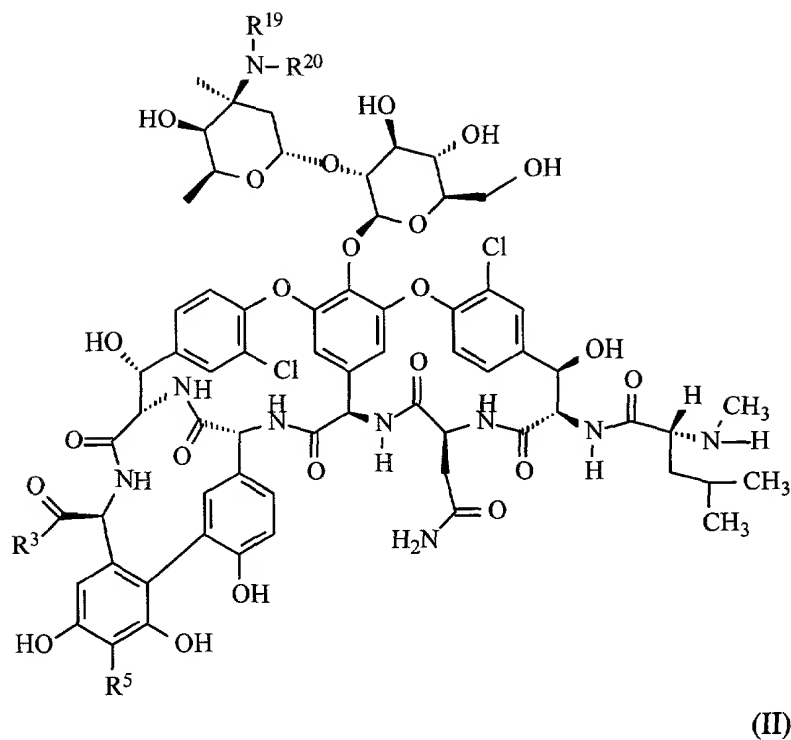
$x$  is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided the group  $R^3$  does not comprises more than one carboxy group; and

- 15    provided the group  $R^3$  is not a substituent that comprises one or more saccharide  
    groups and a carboxy (COOH) group; and

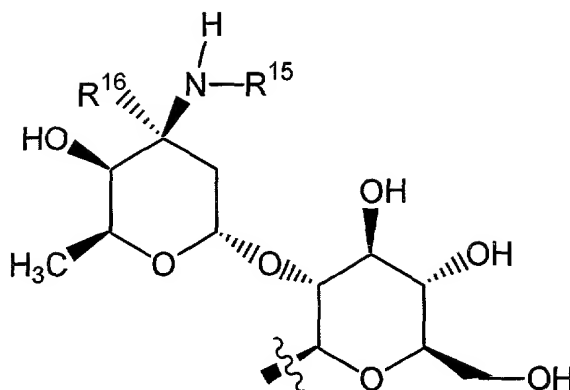
provided the compound of formula I is not a compound of formula II:



- a) wherein  $R^3$  is OH;  $R^5$  is hydrogen;  $R^{19}$  is  $-\text{CH}_2[\text{CH}(\text{OH})]_4\text{COOH}$ ; and  $R^{20}$  is  $-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_9\text{CH}_3$ ; or
- b) wherein  $R^3$  is OH;  $R^5$  is hydrogen;  $R^{19}$  is hydrogen; and  $R^{20}$  is  $-\text{CH}_2\text{CH}_2-\text{N}(\text{C}(\text{O})-3,4,5\text{-trihydroxycyclohex-1-en-1-yl})-(\text{CH}_2)_9\text{CH}_3$  (R,S,R isomer).

5

2. The glycopeptide of claim 1 wherein  $R^1$  is an amino containing saccharide group substituted on the amine with a group comprising two or more hydroxy groups that is selected from  $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$ ,  $\text{R}^f$ ,  $-\text{C}(\text{O})\text{R}^f$ , and  $-\text{C}(\text{O})-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})$ .
3. The glycopeptide of claim 1 wherein  $R^1$  is a saccharide group of the formula:



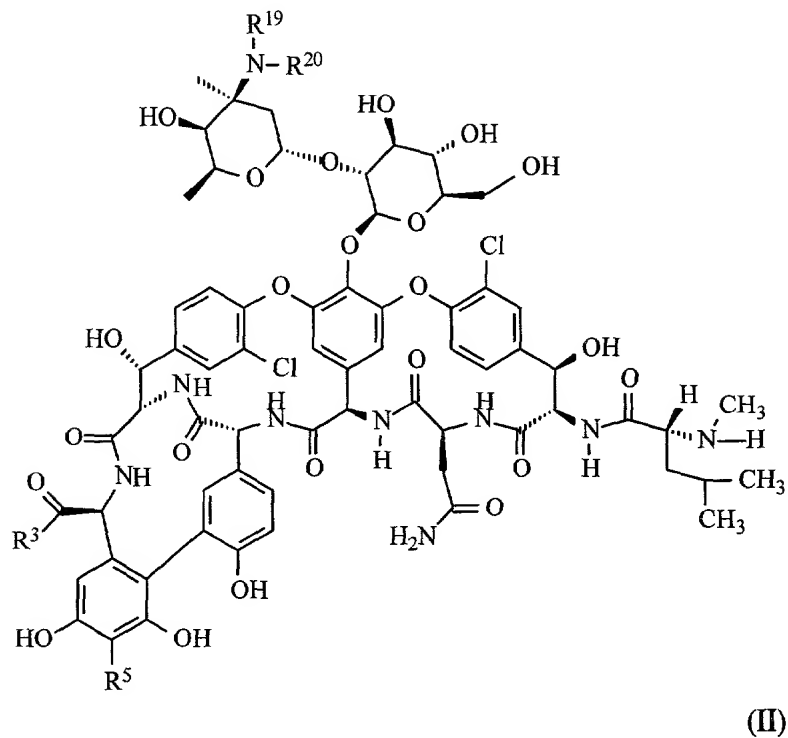
wherein  $R^{15}$  comprises two or more hydroxy groups and is selected from  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , and  $-C(O)-R^a-Y-R^b-(Z)_x$ ; and  $R^{16}$  is hydrogen or methyl.

4. The glycopeptide of claim 3 wherein  $R^{15}$  is substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, substituted alkyl- $C(O)-$ , substituted alkenyl- $C(O)-$ , substituted alkynyl- $C(O)-$ , substituted cycloalkyl- $C(O)-$ , substituted cycloalkenyl- $C(O)-$ , aryl- $C(O)-$ , heteroaryl- $C(O)-$ , or heterocyclic- $C(O)-$ ; wherein  $R^{15}$  comprises two or more hydroxy groups.

5. The glycopeptide of claim 3 wherein  $R^{15}$  is a group of formula  $-CH_2-CH(OH)CH(OH)CH_2-Y-R^b-(Z)_x$ ; wherein  $Y$ ,  $R^b$ ,  $Z$ , and  $x$  have the values defined in claim 1.

6. The glycopeptide of claim 3 wherein  $R^{15}$  is a group of formula  $-CH_2-CH(OH)CH(OH)CH_2-R^{17}$  wherein  $R^{17}$  is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic.

7. The glycopeptide of claim 1 which is a compound of formula II:



wherein:

$R^{19}$  is hydrogen;

$R^{20}$  is  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ; and

- 5  $R^a$ ,  $Y$ ,  $R^b$ ,  $Z$ ,  $x$ ,  $R^f$ ,  $R^3$ , and  $R^5$  have any of the values defined in claim 1;  
or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof.

8. The glycopeptide of claim 7 wherein  $R^3$  is OH.

9. The glycopeptide of claim 7 wherein  $R^5$  is hydrogen.

10. The glycopeptide of claim 27 wherein  $R^{19}$  is hydrogen; and  $R^{20}$  is selected from  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , and  $-C(O)-R^a-Y-R^b-(Z)_x$ .

11. The glycopeptide of claim 10 wherein  $R^{20}$  is substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, substituted alkyl-C(O)-, substituted alkenyl-C(O)-, substituted alkynyl-C(O)-, substituted cycloalkyl-C(O)-, substituted cycloalkenyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)-, or heterocyclic-C(O)-; wherein  $R^{15}$  comprises two or more hydroxy groups.

12. The glycopeptide of claim 10 wherein  $R^{20}$  is substituted alkyl, substituted alkenyl, substituted alkynyl, substituted alkyl-C(O)-, substituted alkenyl-C(O)-, substituted alkynyl-C(O)-; wherein  $R^{15}$  comprises two or more hydroxy groups.

13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.

14. The pharmaceutical composition of claim 13, which comprises a cyclodextrin.

15. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1.

16. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 7.



17. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 13.